

(19) 日本国特許庁(JP)

(12) 公表特許公報(A)

(11) 特許出願公表番号

特表2005-533038

(P2005-533038A)

(43) 公表日 平成17年11月4日(2005.11.4)

(51) Int.Cl.⁷

C07D 409/12

A61K 31/4184

A61K 31/454

A61P 1/04

A61P 3/06

F 1

C07D 409/12 C S P

A61K 31/4184

A61K 31/454

A61P 1/04

A61P 3/06

テーマコード(参考)

4C063

4C086

審査請求 未請求 予備審査請求 有 (全 61 頁) 最終頁に統ぐ

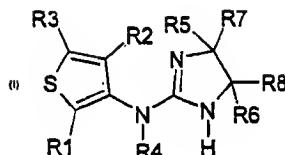
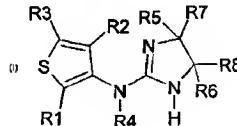
(21) 出願番号 特願2004-509675 (P2004-509675)
 (86) (22) 出願日 平成15年5月26日 (2003.5.26)
 (85) 開示文提出日 平成17年1月18日 (2005.1.18)
 (86) 國際出願番号 PCT/EP2003/005465
 (87) 國際公開番号 WO2003/101984
 (87) 國際公開日 平成15年12月11日 (2003.12.11)
 (31) 優先権主張番号 102 24 892,3
 (32) 優先日 平成14年6月4日 (2002.6.4)
 (33) 優先権主張国 ドイツ(DE)

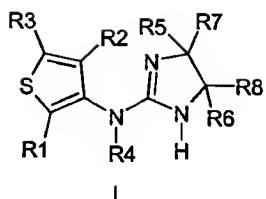
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 弁理士 三輪 昭次

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(54) 【発明の名称】置換チオフェン、その製造方法、医薬または診断薬としてのその使用、および、これを含有する医薬

(57)





$q = 2q$

2 n

3 k

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$n = 2m + 1$

k 3 2

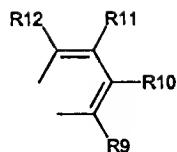
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r = 2r

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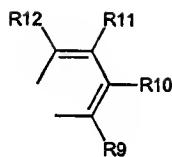
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n 2 n + 12 2 3 3 2 3
2 2

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n q 2 q

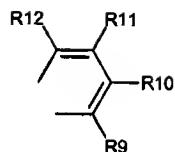
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q = 2 q

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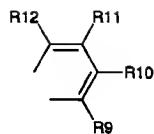
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r = 2 r

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n 2 n + 1

q 2 q

2 n

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n 2 n + 1

k 3 2

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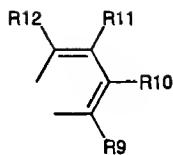
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q 2 q

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n q 2 q

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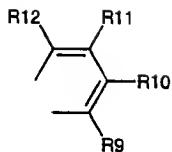
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n 2 n + 1

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n q 2 q

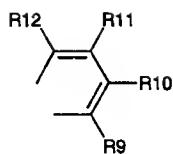
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n = q = 2 q

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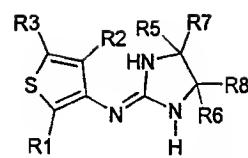
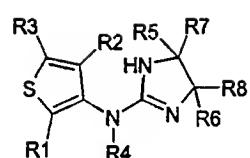
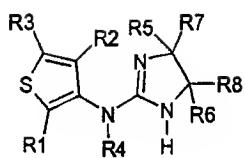
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(もし R4=H であるなら)

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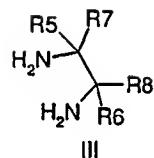
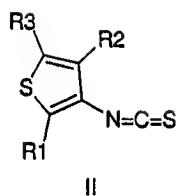
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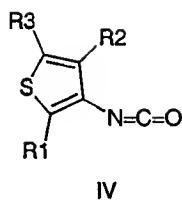
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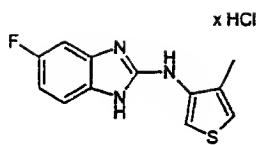
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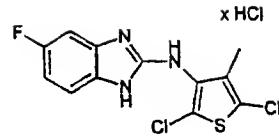
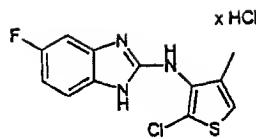


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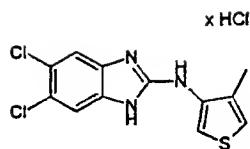
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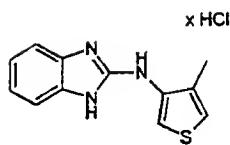
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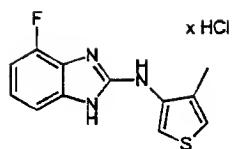
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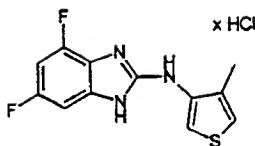
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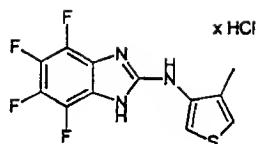
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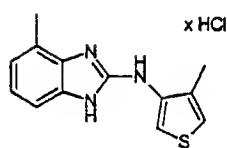
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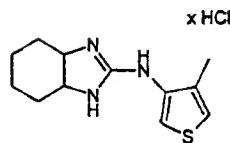
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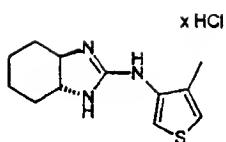
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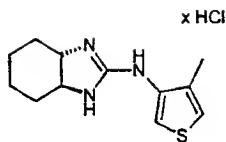
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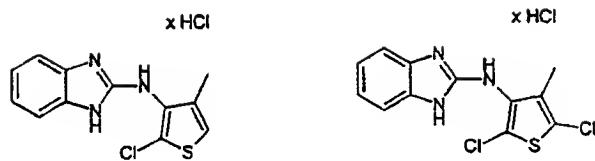
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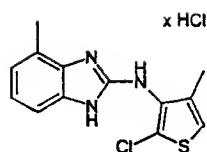
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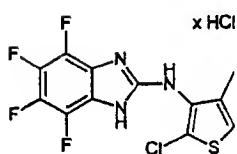


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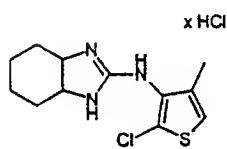
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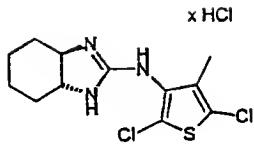
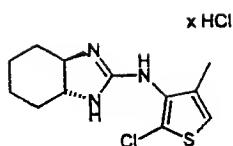


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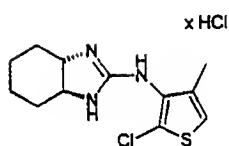


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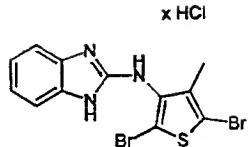
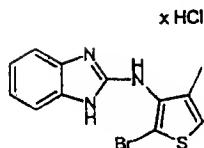
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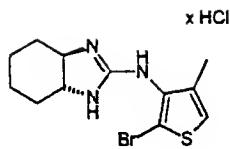


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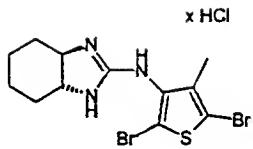


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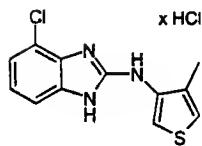
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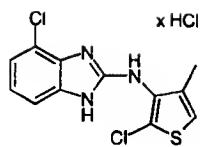
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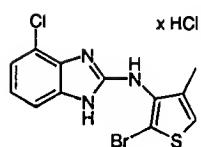
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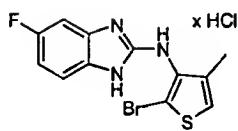
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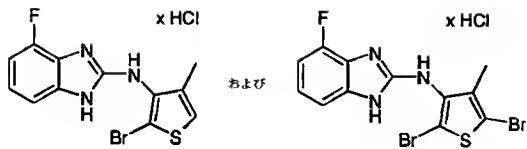
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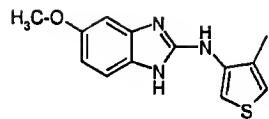


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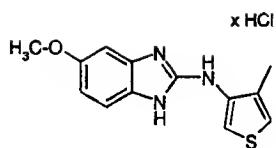
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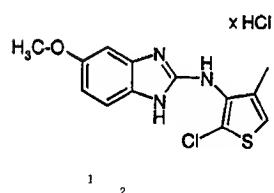
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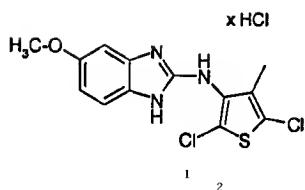


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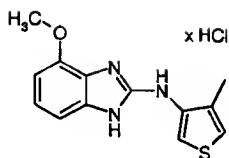


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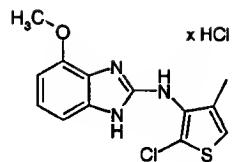
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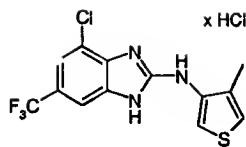
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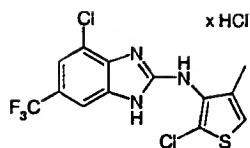
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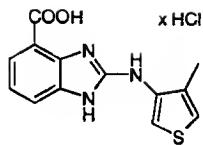


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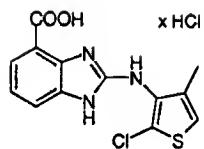
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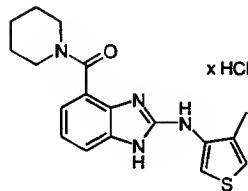
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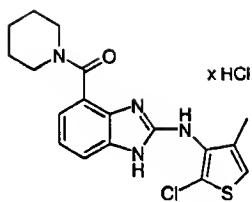
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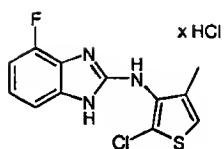
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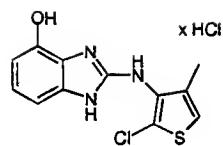
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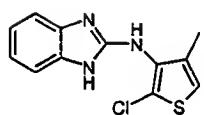


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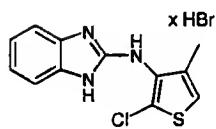
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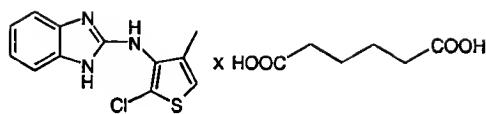
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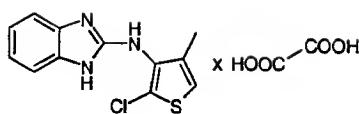


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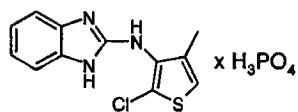


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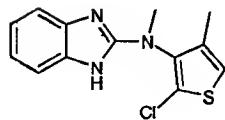
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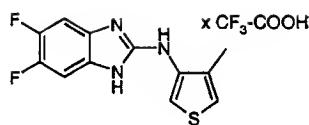


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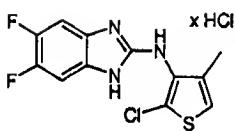
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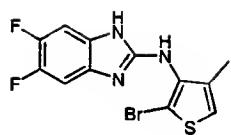


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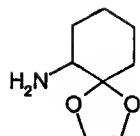
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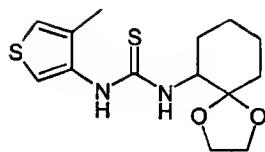
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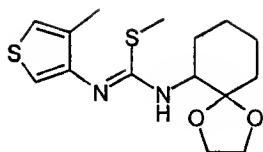
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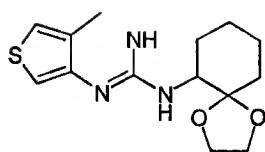
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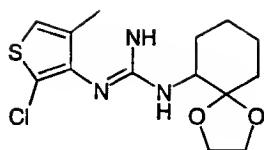
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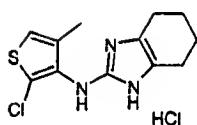
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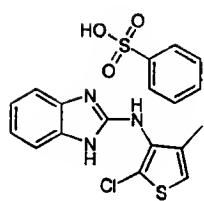


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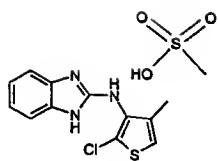
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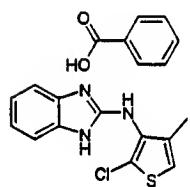
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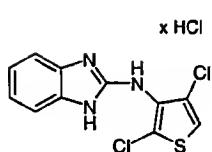
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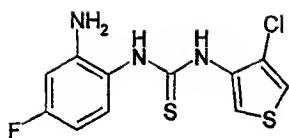
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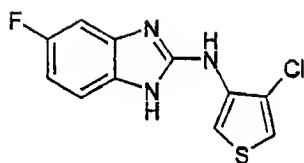


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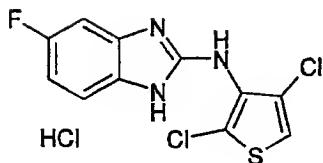
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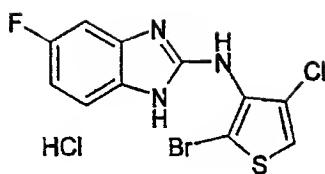


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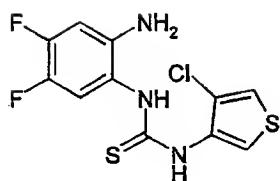


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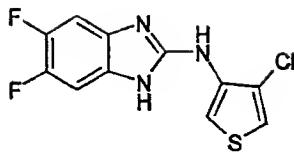


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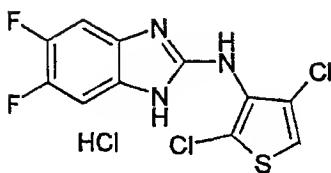
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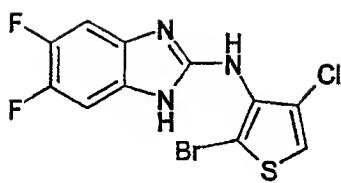


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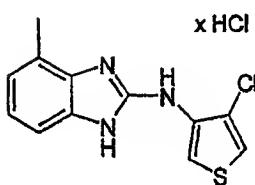


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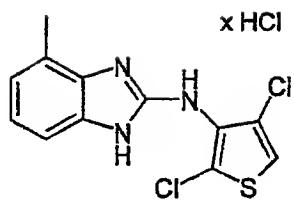
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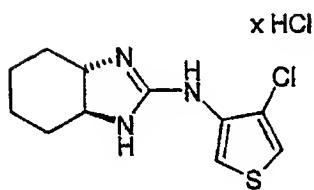
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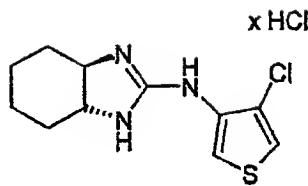
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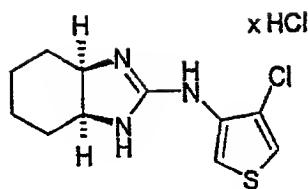
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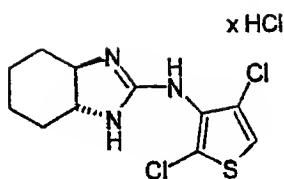
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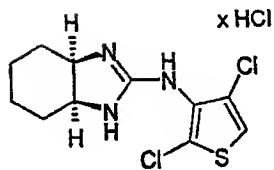
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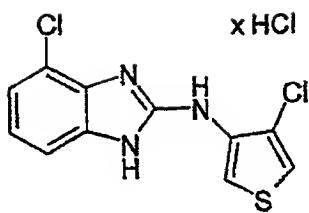


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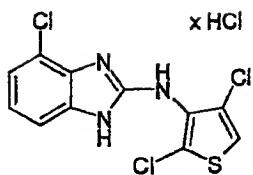
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| 実施例 | $I C_{50}$ [μM] |
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| 1 6 トランス-R, R-2-クロロ-3N-(3a, 4, 5, 6, 7, 7a-ヘキサヒドロ-1H-2-ベンズイミダゾリル)-4-メチル-3-チエニルアミン 塩酸塩 | 0.27 (r NHE 3) |
| 1 2 2-クロロ-3N-(2-ベンズイミダゾリル)-4-メチル-3-チエニルアミン塩酸塩 | 0.12 (r NHE 3) |
| 5 4 2-ブロモ-4-クロロ-3N-(2-ベンズイミダゾリルアミノ)チオフェン塩酸塩 | 0.22 (h NHE 3) |
| 5 3 2, 4-ジクロロ-3N-(2-ベンズイミダゾリルアミノ)チオフェン 塩酸塩 | 0.14 (h NHE 3) |
| 5 6 2, 4-ジクロロ-3N-(4-メチル-2-ベンズイミダゾリルアミノ) チオフェン塩酸塩 | 0.22 (h NHE 3) |
| 6 0 トランス-R, R-2, 4-ジクロロ-3N-(3a, 4, 5, 6, 7, 7a-ヘキサヒドロ-1H-2-ベンズイミダゾリル)-3-チエニルアミン塩酸塩 | 0.19 (h NHE 3) |
| 6 3 2, 4-ジクロロ-3N-(4-クロロ-2-ベンズイミダゾリルアミノ) チオフェン塩酸塩 | 0.54 (h NHE 3) |
| 3 8 2-クロロ-3N-(4-ヒドロキシ-2-ベンズイミダゾリルアミノ)-4-メチルチオフェン塩酸塩 | 0.84 (h NHE 3) |
| 1 8 2-ブロモ-3N-(2-ベンズイミダゾリル)-4-メチル-3-チエニルアミン塩酸塩 | 0.12 (h NHE 3) |
| 1 9 トランス-R, R-2-ブロモ-3N-(3a, 4, 5, 6, 7, 7a-ヘキサヒドロ-1H-2-ベンズイミダゾリル)-4-メチル-3-チエニルアミン 塩酸塩 | 0.56 (h NHE 3) |
| 2 2-クロロ-3N-(5-フルオロ-2-ベンズイミダゾリル)-4-メチル-3-チエニルアミン塩酸塩 | 0.62 (h NHE 3) |
| 4 4 (1H-ベンズイミダゾール-2-イル)-(2-クロロ-4-メチルチオフェン-3-イル)-メチルアミン | 1.59 (h NHE 3) |

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INTERNATIONAL SEARCH REPORT

International Application No.
PCT/EP 03/05465

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| A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D409/12 A61K31/4168 A61P11/00 | | |
| According to International Patent Classification (IPC) or to both national classification and IPC | | |
| B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 7 C07D A61K A61P | | |
| Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched | | |
| Electronic data base consulted during the International search (name of data base and, where practical, search terms used) EPO-Internal, WPI Data, PAJ, BIOSIS, BEILSTEIN Data, CHEM ABS Data | | |
| C. DOCUMENTS CONSIDERED TO BE RELEVANT | | |
| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
| A | US 3 758 476 A (LINDNER E ET AL) 11 September 1973 (1973-09-11) cited in the application column 1, line 30 - line 46; examples 1,4B,7 ----- | 1-13 |
| <input type="checkbox"/> Further documents are listed in the continuation of box C. | | <input checked="" type="checkbox"/> Patent family members are listed in annex. |
| * Special categories of cited documents: | | |
| *A* document dealing with general state of the art which is not considered to be of particular relevance | | |
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| *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another document or other specific result (as specified) | | |
| *C* document referring to an oral disclosure, use, exhibition or other means | | |
| *P* document published prior to the International filing date but later than the priority date claimed | | |
| Date of the actual completion of the International search 9 September 2003 | | Date of mailing of the International search report 19/09/2003 |
| Name and mailing address of the ISA European Patent Office, P.O. Box 8046 Patenttaan 2 NL - 2280 HV Rijswijk Tel (+31-70) 340-2040, Fax 31 651 epo nl Fax (+31-70) 340-3076 | | Authorized officer Härtinger, S |

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/05455

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
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INTERNATIONALER RECHERCHENBERICHT

Internationales Aktionszeichen
PCT/EP 03/05465A. KLASIFIZIERUNG DES ANMELDUNGSGEGENSTANDES
IPK 7 C07D409/12 A61K31/4168 A61P11/00

Nach der internationalen Patentklassifikation (IPK) oder nach der nationalen Klassifikation und der IPK

B. RECHERCHIERTE GEBIETE

Recherchierte Mindestpräzis (Klassifikationssystem und Klassifikationszeichen)
IPK 7 C07D A61K A61P

Recherchierte aber nicht zum Mindestpräzis gehörende Veröffentlichungen, soweit diese unter die recherchierten Gebiete fallen

Während der internationalen Recherche konsultierte elektronische Datenbank (Name der Datenbank und evtl. verwendete Suchbegriffe)

EPO-Internal, WPI Data, PAJ, BIOSIS, BEILSTEIN Data, CHEM ABS Data

C. ALS WESENTLICH ANGEBEHNE UNTERLAGEN

| Kategorie* | Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe des in Betracht kommenden Teiles | Betr. Anspruch Nr. |
|------------|--|--------------------|
| A | US 3 75B 476 A (LINDNER E ET AL) 11. September 1973 (1973-09-11) in der Anmeldung erwähnt Spalte 1, Zeile 30 – Zeile 46; Beispiele 1, 48, 7 ----- | 1-13 |

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|--|--|
| <input type="checkbox"/> Weitere Veröffentlichungen nach der Fortsetzung von Feld C zu ermitteln | <input checked="" type="checkbox"/> Siehe Anhang Patentfamilie |
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| *Q* Veröffentlichung, die Mitglied derselben Patentfamilie ist | |

Datum des Abschlusses der internationalen Recherche

Abschlussdatum des internationalen Rechercheberichts

9. September 2003

19/09/2003

Name und Postanschrift der Internationalen Recherchebehörde
Europäisches Patentamt, P.O. 5810 Patentamt 2
D-8039 München
Tel. (+31-70) 340-2040, Te. 31 651 epo nl
Fax: (+31-70) 340-3010

Befolmächtigter Bediensteter

Härtinger, S

INTERNATIONALER RECHERCHENBERICHT

Internationales Aktenzeichen
PCT/EP 03/05465

| Im Recherchenbericht angeführtes Patentdokument | Datum der Veröffentlichung | Mitglied(er) der Patentfamilie | Datum der Veröffentlichung |
|--|-------------------------------|---|--|
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(51) Int.Cl.⁷

| | |
|-------|-------|
| 3/10 | 3/10 |
| 9/04 | 9/04 |
| 9/06 | 9/06 |
| 9/10 | 9/10 |
| 9/12 | 9/10 |
| 11/00 | 9/12 |
| 13/08 | 11/00 |
| 13/12 | 13/08 |
| 25/00 | 13/12 |
| 25/08 | 25/00 |
| 25/22 | 25/08 |
| 25/24 | 25/22 |
| 29/00 | 25/24 |
| 33/00 | 29/00 |
| 33/06 | 33/00 |
| 35/00 | 33/06 |
| 39/02 | 35/00 |
| 43/00 | 39/02 |

(81) AP(GH,GM,KE,LS,MW,MZ,SD,SL,SZ,TZ,UG,ZM,ZW),EA(AM,AZ,BY,KG,KZ,MD,RU,TJ,TM),EP(AT, BE,BG,CH,CY,CZ,DE,DK,EE,ES,FI,FR,GB,GR,HU,IE,IT,LU,MC,NL,PT,RO,SE,SI,SK,TR),OA(BF,BJ,CF,CG,CI,CM,GA, GN,GQ,GW,ML,MR,NE,SN,TD,TG),AE,AG,AL,AM,AT,AU,AZ,BA,BB,BG,BR,BY,BZ,CA,CH,CN,CO,CR,CU,CZ,DE,DK,DM,DZ, EC,EE,ES,FI,GB,GD,GE,GH,GM,HR,HU,ID,IL,IN,IS,JP,KE,KG,KP,KR,KZ,LC,IK,LR,LS,LT,LU,LV,MA,MD,MG,MK,MN,MW,MZ,MZ,NI,NO,NZ,OM,PH,PL,PT,RO,RU,SC,SD,SE,SG,SK,SL,TJ,TM,TN,TR,TT,TZ,UA,UG,UZ,VC,VN,YU,ZA,ZM,ZW)

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 4C086 AA01 AA02 AA03 BC39 GA04 GA07 MA01 MA04 NA14 ZA01
 ZA05 ZA06 ZA12 ZA36 ZA37 ZA42 ZA45 ZA54 ZA59 ZA68
 ZA75 ZA81 ZB11 ZB26 ZB35 ZB37 ZB38 ZC33 ZC37